STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 126566

TO: Alton Pryor **Location:** **Art Unit: 1616** **July 7, 2004** 4670 **Case Serial Number: 10/812736**	**From: P. Sheppard** **Location: Remsen Building** **Phone: (571) 272-2529** **sheppard@uspto.gov**

Search Notes

Access DB# 126566

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Alton Pryor Examiner #: 74458 Date: 7/8/04

Art Unit: 1616 Phone Number 30-2-0621 Serial Number: 10/812,736

Mail Box and Bldg/Room Location: REM 4A39 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

_____

Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Search claims 1 & 2

****

STAFF USE ONLY | Type of Search | Vendors and cost where applicable

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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    6 JUL 2004  HIGHEST RN 705249-96-3
DICTIONARY FILE UPDATES:   6 JUL 2004  HIGHEST RN 705249-96-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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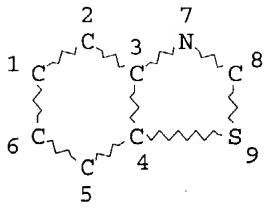


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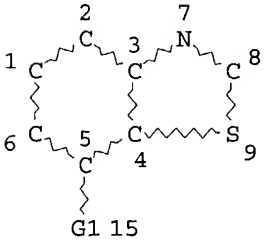

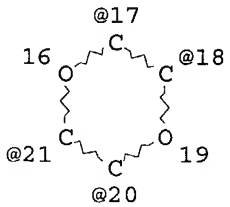

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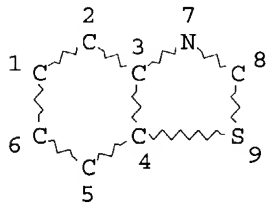

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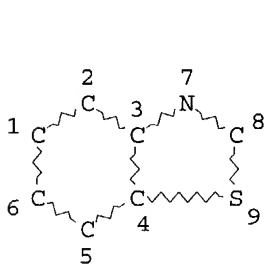

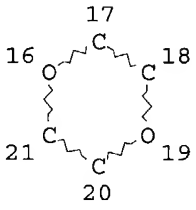

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L21           3 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L18


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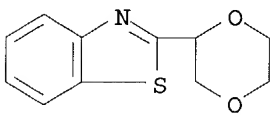
L21  ANSWER 1 OF 3  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         1986:108722  HCAPLUS
DOCUMENT NUMBER:          104:108722
TITLE:                    Hydroxylamine-O-sulfonic acid-induced substitution of
                          heteroaromatic bases by α-oxyalkyl radicals from
                          alkyl ethers
AUTHOR(S):                Citterio, Attilio; Casucci, Domenico; Gentile, Anna;
                          Serravalle, Marco; Ventura, Susanna
CORPORATE SOURCE:         Dip. Chim., Politec. Milano, Milan, I-20133, Italy
```

SOURCE: Gazzetta Chimica Italiana (1985), 115(6), 319-24
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 104:108722

AB Thermal and Fe(II)-catalyzed decomposition of NH3OSO3 in the presence of alkyl ethers and protonated heteroarom. bases affords α-alkylation products of the base with high selectivity and yield. The reaction is a radical redox-chain process involving the formation of ammoniumyl radicals (NH3+•), preferential H abstraction from α-C-H bonds of ether by NH3+•, trapping of the nucleophilic alkyl radical produced by the base and oxidation of the pyridinyl radical adduct by NH3OSO3 or Fe(III). Thermal initiation appears to be somewhat less efficient than Fe(II) or Fe(III) initiation. The α-oxyalkylation process appears to be strongly affected by the reducing properties of the C free radicals, their reversibility in the addition to the base and by hydrolysis of NH3OSO3. The selectivity of the H atom abstraction by NH3+• and the competition of the free radical intermediates between addition to the base and oxidation by Fe(III) have been investigated.

IT **33787-78-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 33787-78-9 HCAPLUS
CN Benzothiazole, 2-(1,4-dioxan-2-yl)- (9CI) (CA INDEX NAME)



L21 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:164849 HCAPLUS
DOCUMENT NUMBER: 84:164849
TITLE: Etherization of heterocyclic bases
INVENTOR(S): Minisci, Francesco; Quili, Adolfo
PATENT ASSIGNEE(S): Montedison S.p.A., Italy
SOURCE: Ital., 13 pp.
CODEN: ITXXAX
DOCUMENT TYPE: Patent
LANGUAGE: Italian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IT 913637		19720315	IT 1970-913637	19701218

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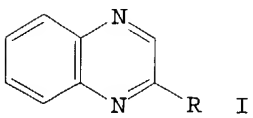
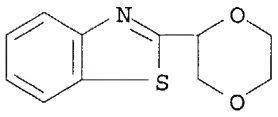


I

AB N heterocycles were alkoxymethylated with ethers in the presence of

oxidizing agent, such as Me3COOH or H2O2. Thus quinoxaline was treated with 1,4-dioxane and Me3COOH to give 2-(1,4-dioxan-2-yl)quinoxaline and 2,3-bis(1,4-dioxan-2-yl)quinoxaline in relative yields depending on the ratio of quinoxaline to Me3COOH. Quinoxalines I (R = 2-tetrahydrofuranyl, 1,3-dioxolan-4-yl, CHMeOEt), 2-(1,4-dioxan-2-yl)-4-methylquinoline, 2-(1,4-dioxan-2-yl)benzothiazole, 1,3-bis(1,4-dioxan-2-yl)isoquinoline, and 2,5-bis(1,4-dioxan-2-yl)pyrazine were similarly prepared

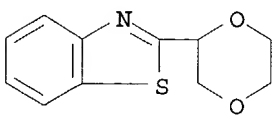
IT **33787-78-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33787-78-9 HCAPLUS
CN Benzothiazole, 2-(1,4-dioxan-2-yl)- (9CI) (CA INDEX NAME)



L21 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:517830 HCAPLUS
DOCUMENT NUMBER: 75:117830
TITLE: Nucleophilic character of alkyl radicals. V. Selective homolytic α-oxyalkylation of heteroaromatic bases
AUTHOR(S): Buratti, W.; Gardini, G. P.; Minisci, F.; Bertini, F.; Galli, R.; Perchinunno, M.
CORPORATE SOURCE: Univ. Parma, Parma, Italy
SOURCE: Tetrahedron (1971), 27(15), 3655-68
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The direct introduction of α-oxyalkyl groups into heteroaromatic bases (such as pyridines, isoquinolines, benzothiazoles, pyrazines, and quinoxaline) was achieved by means of various oxidizing agents: H2O2, tert-butyl hydroperoxide, ammonium peroxydisulfate, sodium perborate and bis(4-tert-butylcyclohexyl) peroxydicarbonate. The good yields and the complete selectivity obtained are due to the nucleophilic character of the α-oxyalkyl radicals. A quant. study concerning the nucleophilic character of the dioxanyl radical, carried out by measuring the relative rates of attack on 4-substituted quinolines; revealed in detail all the features of nucleophilic substitutions.

IT **33787-78-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 33787-78-9 HCAPLUS
CN Benzothiazole, 2-(1,4-dioxan-2-yl)- (9CI) (CA INDEX NAME)



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FILE COVERS 1907 - 7 Jul 2004 VOL 141 ISS 2
FILE LAST UPDATED: 6 Jul 2004 (20040706/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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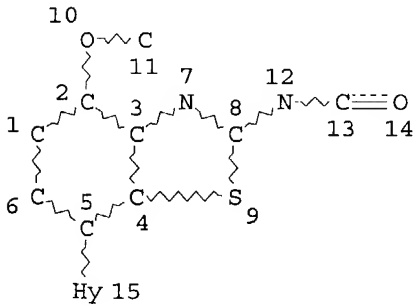

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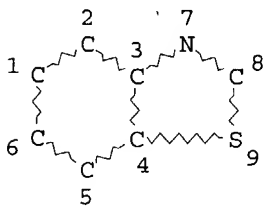

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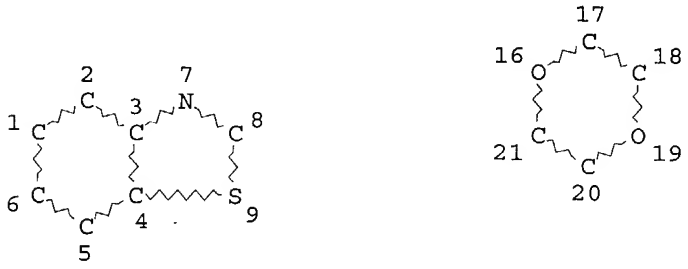

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STEREO ATTRIBUTES: NONE
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L35 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L34
L36 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L35 NOT L21

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=> d ibib abs hitrn l36 1-7

L36 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:511323 HCAPLUS
DOCUMENT NUMBER: 139:85337
TITLE: Preparation of carboxamidobenzothiazoles as A2A adenosine receptor ligands
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053961	A1	20030703	WO 2002-EP13769	20021205

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

US 2003144288 A1 20030731 US 2002-307698 20021202
US 6734179 B2 20040511
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OTHER SOURCE(S): MARPAT 139:85337
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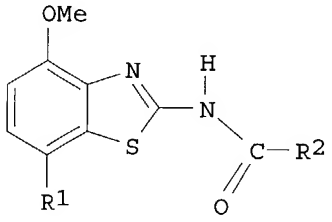

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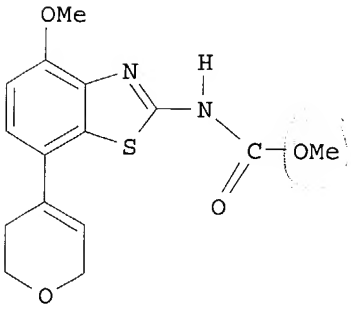

II

AB Title compds. I [wherein R1 = (un)substituted 3,6-dihydro-2H-pyran-4-yl, 5,6-dihydro-4H-pyran-3-yl, 5,6-dihydro-4H-pyran-2-yl, tetrahydropyranyl, cyclohex-1-enyl, cyclohexyl, 1,2,3,6-tetrahydropyridin-4-yl, or piperidin-4-yl; R2 = (un)substituted alkyl, piperidinyl, Ph, morpholinyl, or pyridinyl; and their pharmaceutically acceptable acid addition salts] were prepared as A2A adenosine receptor ligands. For example, II was prepared by Pd cross coupling of (7-iodo-4-methoxybenzothiazol-2-yl)carbamic acid Me ester with tributyl(3,6-dihydro-2H-pyran-4-yl)stannane at 100 0C for 16 h. I have a good affinity to the A2A-receptor and may be used in the treatment of diseases related to this receptor. For instance, all except one tested invention compds. showed binding to the human A2A adenosine receptor with pKi >8.0.

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(A2A receptor ligand; preparation of carboxamidobenzothiazoles as AA adenosine receptor ligands)

IT **554411-32-4P 554411-35-7P 554411-39-1P**
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554411-47-1P 554411-50-6P 554411-51-7P
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554411-80-2P 554411-98-2P 554412-00-9P
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(intermediate; preparation of carboxamidobenzothiazoles as AA adenosine receptor ligands)

IT **554411-93-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carboxamidobenzothiazoles as AA adenosine receptor ligands)

IT **554411-99-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carboxamidobenzothiazoles as AA adenosine receptor ligands)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:511312 HCAPLUS
DOCUMENT NUMBER: 139:85336
TITLE: Preparation of 7-aminocarboxamidobenzothiazoles as A2A-adenosine receptor ligands
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053946	A1	20030703	WO 2002-EP13770	20021205

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US 2003153566 A1 20030814 US 2002-307702 20021202
US 6713499 B2 20040330
PRIORITY APPLN. INFO.: EP 2001-129272 A 20011212
OTHER SOURCE(S): MARPAT 139:85336
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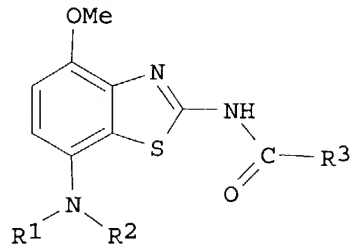

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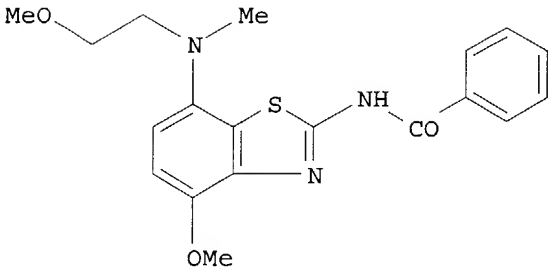


II

AB Title compds. I [wherein R1, R2 = H, (un)substituted lower alkyl, cycloalkyl, tetrahydropyranyl, piperidin-4-yl, (CH2)n-pyridinyl, (CH2)n-morpholinyl, (CH2)n-tetrahydropyranyl, (CH2)n-piperidinyl, CO-cycloalkyl, CO-tetrahydropyranyl, CO-morpholinyl, CO-piperidin-1-yl, CO-pyrrolidin-1-yl; NR1R2 = (un)substituted 2-oxa-5-aza-bicyclo[2,2,1]hept-5-yl, azetidinyl; R3 = (un)substituted alkoxy, Ph, pyridinyl, morpholinyl, piperidin-1-yl, 2-aza-bicyclo[2,2,2]octane; n = 1-2; and their pharmaceutically acceptable acid addition salts] were prepared as A2A-adenosine receptor ligands. For example, II was prepared in five steps by N-alkylation of N-methyl-p-anisidine with 2-bromoethyl Me ether, nitration, hydrogenation over Pd/C, condensation of the 1,3-benzenediamine with benzoyl isothiocyanate and cyclization. I have a good affinity to the A2A-receptor and are useful in the treatment of diseases related to this receptor. For instance, all the compds. I showed binding to the human A2A adenosine receptor with pKi> 7.2.

IT **554420-26-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(A2A adenosine receptor ligand; preparation of aminocarboxamidobenzothiazoles as A2A-adenosine receptor ligands)

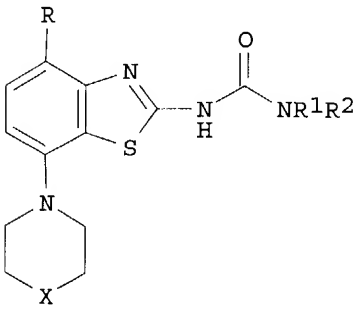
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:472390 HCAPLUS

DOCUMENT NUMBER: 139:53026
TITLE: Preparation of ureidobenzothiazoles as adenosine receptor ligands.
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049741	A1	20030619	WO 2002-EP13761	20021205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003149036	A1	20030807	US 2002-308338	20021203
US 6727247	B2	20040427		

PRIORITY APPLN. INFO.: EP 2001-129228 A 20011210
OTHER SOURCE(S): MARPAT 139:53026
GI



I

AB Title compds. [I; R = alkoxy, halo; R1, R2 = H, alkyl, cycloalkyl, tetrahydropyran-4-yl; R1R2N = (substituted) 2-oxa-5-azabicyclo[2.2.1]heptyl, 3-endo-hydroxy-8-azabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.2]octyl, 1-oxo-2,8-diazaspiro[4.5]decyl, 3-azaspiro[5.5]undecyl, 8-azaspiro[4.5]decyl, 1-oxa-8-azaspiro[4.5]decyl, 1,8,8-trimethyl-3-azabicyclo[3.2.1]octyl, 1,4-oxazepanyl, 2-oxa-5-azabicyclo[2.2.2]octyl, 8-oxa-3-azabicyclo[3.2.1]octyl, 1,4-diazabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.1]heptyl, 3-azabicyclo[3.2.1]octyl, piperazinyl, piperidin-1-yl; X = O, CH2; n = 0-4], were prepared Thus, 4-methoxy-7-morpholin-4-ylbenzothiazol-2-ylamine in CH2Cl2 was treated with pyridine and Ph chloroformate and the resulting solution stirred for 45 min at ambient temperature; (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane was added and the mixture stirred at ambient temperature for 15 min and at 40° for 2.5 h. to give (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane-5-carboxylic acid (4-methoxy-7-morpholin-4-

ylbenzothiazol-2-yl)amide. This bound to human A2a receptors with pKi = 8.5.

IT **546093-14-5P 546093-15-6P 546093-16-7P**
546093-17-8P 546093-18-9P 546093-19-0P
546093-20-3P 546093-21-4P 546093-22-5P
546093-23-6P 546093-24-7P 546093-25-8P
546093-26-9P 546093-27-0P 546093-28-1P
546093-29-2P 546093-30-5P 546093-31-6P
546093-32-7P 546093-33-8P 546093-34-9P
546093-35-0P 546093-36-1P 546093-37-2P
546093-38-3P 546093-39-4P 546093-40-7P
546093-41-8P 546093-42-9P 546093-49-6P
546093-50-9P 546093-54-3P 546093-55-4P
546093-56-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ureidobenzothiazoles as adenosine receptor ligands)

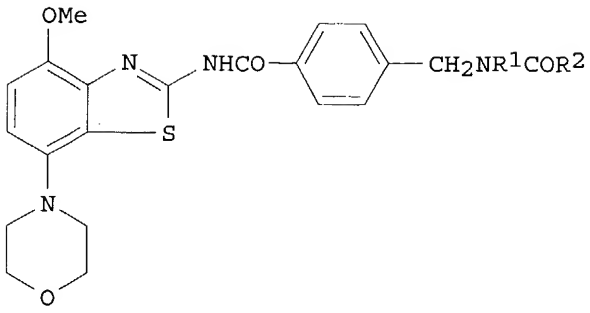
IT **383868-82-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ureidobenzothiazoles as adenosine receptor ligands)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:434364 HCAPLUS
DOCUMENT NUMBER: 139:22206
TITLE: Preparation of aroylaminobenzothiazoles as adenosine receptor antagonists
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045386	A1	20030605	WO 2002-EP13046	20021121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003134855	A1	20030717	US 2002-295500	20021115
US 6624163	B2	20030923		

PRIORITY APPLN. INFO.: EP 2001-128338 A 20011129
OTHER SOURCE(S): MARPAT 139:22206
GI



I

AB Benzothiazoles I [R1 = H, alkyl; R2 = H, alkyl, alkoxyalkyl, cycloalkyl, aminoalkyl; n = 1-3] were prepared for use as A2A receptor antagonists. Thus, I [R1 = H, R2 = MeOCH2] was prepared by acylating the amine and had a pKi for human A2A receptor binding of 9.1.

IT **537707-12-3P 537707-15-6P 537707-20-3P**
537707-23-6P 537707-26-9P 537707-29-2P
537707-35-0P 537707-38-3P 537707-41-8P
537707-44-1P 537707-50-9P 537707-53-2P
537707-63-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aroylaminobenzothiazoles as adenosine receptor antagonists)

IT **383866-22-6 383868-28-8 537707-66-7**
537707-71-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aroylaminobenzothiazoles as adenosine receptor antagonists)

IT **537707-56-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aroylaminobenzothiazoles as adenosine receptor antagonists)

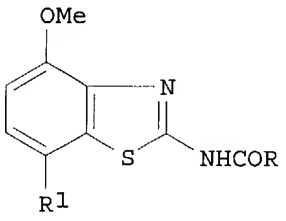
IT **537707-17-8P 537707-32-7P 537707-47-4P**
537707-59-8P 537707-60-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aroylaminobenzothiazoles as adenosine receptor antagonists)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:417626 HCAPLUS
DOCUMENT NUMBER: 139:6865
TITLE: Nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2003043636 A1 20030530 WO 2002-EP12562 20021111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003134854 A1 20030717 US 2002-288100 20021105
US 6620811 B2 20030916
PRIORITY APPLN. INFO.: EP 2001-127312 A 20011119
OTHER SOURCE(S): MARPAT 139:6865
GI



I

AB Title compds. I [R = 2-substituted 4-pyridyl, 4-substituted 3-pyridyl; R1 = Ph, piperidin-1-yl, morpholinyl] were prepared for use as adenosine A2A receptor ligands. Thus, 4-methoxy-7-morpholinobenzothiazole-2-amine was acylated with 2-chloroisonicotinoyl chloride and treated with HOCH2CH2OMe to give I [R = 2-(2-methoxyethoxy)pyridin-4-yl, R1 = morpholino] which had a pKi for the human A2A receptor of 8.50.

IT **535924-18-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)

IT **533932-09-1P 535923-58-1P 535923-60-5P**
535923-61-6P 535923-62-7P 535923-64-9P
535923-66-1P 535923-69-4P 535923-71-8P
535923-73-0P 535923-74-1P 535923-80-9P
535923-82-1P 535923-87-6P 535923-91-2P
535923-96-7P 535924-00-6P 535924-03-9P
535924-07-3P 535924-10-8P 535924-12-0P
535924-14-2P 535924-21-1P 535924-26-6P
535924-27-7P 535924-29-9P 535924-30-2P
535924-31-3P 535924-32-4P 535924-33-5P
535924-37-9P 535924-38-0P 535924-40-4P
535924-42-6P 535924-43-7P 535924-44-8P
535924-45-9P 535924-46-0P 535924-47-1P
535924-49-3P 535924-50-6P 535924-51-7P
535924-52-8P 535924-53-9P 535924-54-0P
535924-56-2P 535924-57-3P 535924-59-5P
535924-60-8P 535924-61-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A

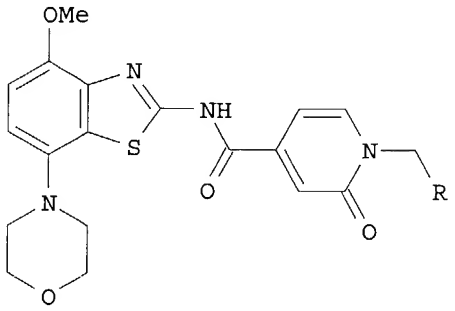
receptor ligands)
IT **535924-71-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)
IT **383869-82-7P 535924-24-4P 535924-28-8P 535924-67-5P 535924-68-6P 535924-70-0P 535924-72-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)
IT **535924-20-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)
IT **535923-59-2P 535923-63-8P 535923-65-0P 535923-67-2P 535923-68-3P 535923-70-7P 535923-72-9P 535923-75-2P 535923-76-3P 535923-77-4P 535923-78-5P 535923-79-6P 535923-81-0P 535923-83-2P 535923-84-3P 535923-85-4P 535923-86-5P 535923-88-7P 535923-89-8P 535923-90-1P 535923-92-3P 535923-93-4P 535923-94-5P 535923-95-6P 535923-97-8P 535923-98-9P 535923-99-0P 535924-01-7P 535924-02-8P 535924-04-0P 535924-05-1P 535924-06-2P 535924-08-4P 535924-09-5P 535924-11-9P 535924-13-1P 535924-15-3P 535924-16-4P 535924-17-5P 535924-19-7P 535924-22-2P 535924-23-3P 535924-34-6P 535924-36-8P 535924-39-1P 535924-41-5P 535924-48-2P 535924-55-1P 535924-62-0P 535924-63-1P 535924-64-2P 535924-65-3P 535924-66-4P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nicotinoyl- or isonicotinoylaminobenzothiazoles as A2A receptor ligands)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:417624 HCAPLUS
DOCUMENT NUMBER: 139:6879
TITLE: Preparation of N-[7-(morpholin-4-yl)benzothiazol-2-yl] 2-oxo-1,2-dihydropyridine-4-carboxamides as adenosine receptor ligands
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043634	A1	20030530	WO 2002-EP12543	20021109

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 6599901 B1 20030729 US 2002-288531 20021105
PRIORITY APPLN. INFO.: EP 2001-127313 A 20011119
OTHER SOURCE(S): MARPAT 139:6879
GI



I

AB The title compds. [I; R = Ph, pyridin-2-yl, CO2(alkyl), CO(alkyl), CO(morpholinyl), CON(R1)2, (CH2)nN(R1)2 or (CH2)nO(alkyl); R1 = H, alkyl] which have a good affinity to the A2A receptor and therefore they may be used in the control or prevention of illnesses based on the modulation of the adenosine system, such as Alzheimer's disease, Parkinson's disease, Huntington's disease, neuroprotection, schizophrenia, anxiety, pain, respiration deficits, depression, drug addiction, such as amphetamine, cocaine, opioids, ethanol, nicotine, cannabinoids, or against asthma, allergic responses, hypoxia, ischemia, seizure and substance abuse, were prepared and formulated. Thus, reacting 2-methoxy-N-[4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl]isonicotinamide with PhCH2Br in the presence of NaI in MeCN afforded 32% I [R = Ph] which showed pKi of 8.67 against human adenosine A2A receptor binding. Furthermore, compds. of I may be useful as sedatives, muscle relaxants, antipsychotics, antiepileptics, anticonvulsants and cardioprotective agents for disorders such as coronary artery disease and heart failure.

IT **533932-03-5P 533932-04-6P 533932-05-7P**
533932-06-8P 533932-07-9P 533932-08-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[7-(morpholin-4-yl)benzothiazol-2-yl] 2-oxo-1,2-dihydropyridine-4-carboxamides as adenosine receptor ligands)

IT **533932-09-1 533932-10-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-[7-(morpholin-4-yl)benzothiazol-2-yl] 2-oxo-1,2-dihydropyridine-4-carboxamides as adenosine receptor ligands)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

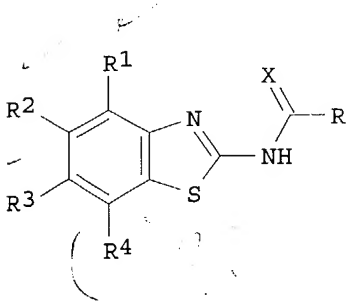
L36 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:935384 HCAPLUS

DOCUMENT NUMBER: 136:69803
TITLE: Preparation of N-benzothiazol-2-yl amides having affinity toward the A2A adenosine receptor
INVENTOR(S): Alanine, Alexander; Flohr, Alexander; Miller, Aubry Kern; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097786	A2	20011227	WO 2001-EP6506	20010608
WO 2001097786	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1303272	A2	20030423	EP 2001-960284	20010608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012395	A	20030708	BR 2001-12395	20010608
JP 2003535887	T2	20031202	JP 2002-503263	20010608
US 2002045615	A1	20020418	US 2001-881252	20010614
US 6521754	B2	20030218		
US 2003125318	A1	20030703	US 2002-310508	20021205
NO 2002005978	A	20021212	NO 2002-5978	20021212
US 2003176695	A1	20030918	US 2002-322272	20021218

PRIORITY APPLN. INFO.: EP 2000-113219 A 20000621
WO 2001-EP6506 W 20010608
US 2001-881252 A3 20010614

OTHER SOURCE(S): MARPAT 136:69803
GI



I

AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; R2, R3 = H, halo, alkyl, alkoxy; R4 = H, alkyl, alkenyl, etc.; R = (un)substituted Ph, (CH2)n(5-6 membered (non)aromatic heterocyclyl, (CH2)n+1Ph, etc.; n = 0-4; X = O, S, H2)], useful for the treatment of diseases related to the adenosine receptor, were prepared Thus, reacting 2-amino-4-methoxy-7-phenylbenzothiazole with benzoyl chloride in pyridine afforded 69% I [R1 =

OMe; R2, R3 = H; R4 = Ph; R = Ph; X = O]. Biol. data for compds. I were given.

IT **383865-46-1P**, 4-(4-Methoxy-2-[(5-methylthiophene-2-carbonyl)amino]benzothiazol-7-yl)piperazine-1-carboxylic acid benzyl ester **383866-22-6P**, 4-Chloromethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383867-03-6P**, [4-Methoxy-7-(2-methylpyridin-4-yl)benzothiazol-2-yl]carbamic acid methyl ester **383867-28-5P**, [4-Methoxy-7-[2-(tritylamino)thiazol-4-yl]benzothiazol-2-yl]carbamic acid methyl ester **383867-35-4P**, [7-(2-(tert-Butoxycarbonylamino)-1H-imidazol-4-yl)-4-methoxybenzothiazol-2-yl]carbamic acid methyl ester **383867-60-5P**, 4-Chloromethyl-N-[4-methoxy-7-(2-(morpholin-4-yl)thiazol-4-yl)benzothiazol-2-yl]benzamide **383867-70-7P**, N-[7-(2-Aminothiazol-4-yl)-4-methoxybenzothiazol-2-yl]-4-[[N-(2-methoxy-ethyl)-N-methylamino]methyl]benzamide **383867-79-6P**, N-[4-Methoxy-7-[2-(tritylamino)thiazol-4-yl]benzothiazol-2-yl]-4-(pyrrolidin-1-yl-methyl)benzamide **383868-28-8P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((methylamino)methyl)benzamide **383868-56-2P** **383868-58-4P** **383868-82-4P** **383868-97-1P** **383869-76-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

IT **383865-25-6P**, 4-Fluoro-N-[4-methoxy-7-(1H-tetrazol-5-yl)benzothiazol-2-yl]benzamide **383865-39-2P** **383865-41-6P** **383865-42-7P** **383865-43-8P** **383865-47-2P** **383865-56-3P** **383866-23-7P**, 4-(4-Hydroxypiperidin-1-ylmethyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383866-24-8P**, 4-[[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383866-25-9P**, 4-[[N-(2-Hydroxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383866-28-2P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-(piperazin-1-ylmethyl)benzamide **383866-31-7P**, Thiomorpholine-4-carboxylic acid (4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)amide **383866-32-8P**, Morpholine-4-carboxylic acid, (4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)amide **383866-33-9P**, 3-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-1-methyl-1-((6-methylpyridin-3-yl)methyl)urea **383867-05-8P**, (4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamic acid methyl ester **383867-19-4P**, (4-Methoxy-7-(thiophen-2-yl)benzothiazol-2-yl)carbamic acid methyl ester **383867-20-7P**, [4-Methoxy-7-(5-methyl-thiophen-2-yl)benzothiazol-2-yl]carbamic acid methyl ester **383867-21-8P**, [4-Methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]carbamic acid methyl ester **383867-22-9P**, [4-Methoxy-7-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]benzothiazol-2-yl]carbamic acid methyl ester **383867-23-0P**, [4-Methoxy-7-(2-(pyridin-2-yl)thiazol-4-yl)benzothiazol-2-yl]carbamic acid methyl ester **383867-24-1P**, [7-[2-((tert-Butoxycarbonylamino)methyl)thiazol-4-yl]-4-methoxybenzothiazol-2-yl]carbamic acid methyl ester **383867-25-2P**, [7-(2-Aminomethylthiazol-4-yl)-4-methoxybenzothiazol-2-yl]carbamic acid methyl ester hydrochloride **383867-26-3P**, [7-(2-((Dimethylamino)methyl)thiazol-4-yl)-4-methoxybenzothiazol-2-yl]carbamic acid methyl ester **383867-27-4P**, [7-(2,5-Dimethylthiazol-4-yl)-4-methoxybenzothiazol-2-yl]carbamic acid methyl ester **383867-29-6P**, [7-(2-Aminothiazol-4-yl)-4-methoxybenzothiazol-2-yl]carbamic acid methyl ester **383867-30-9P**, [7-(2-Dimethylaminothiazol-4-yl)-4-methoxybenzothiazol-2-yl]carbamic acid methyl ester **383867-31-0P**, [4-Methoxy-7-(2-(pyrrolidin-1-yl)thiazol-4-yl)benzothiazol-2-yl]carbamic acid methyl ester **383867-32-1P**, [4-Methoxy-7-(2-(piperidin-1-yl)thiazol-4-yl)benzothiazol-2-yl]carbamic acid methyl ester

383867-33-2P, [4-Methoxy-7-(2-(morpholin-4-yl)thiazol-4-yl)benzothiazol-2-yl]carbamic acid methyl ester **383867-34-3P**, [4-Methoxy-7-[2-(4-methylpiperazin-1-yl)thiazol-4-yl]benzothiazol-2-yl]carbamic acid methyl ester **383867-36-5P**, [7-(2-Amino-1H-imidazol-4-yl)-4-methoxybenzothiazol-2-yl]carbamic acid methyl ester **383867-49-0P**, 4-Fluoro-N-[4-methoxy-7-(2-(morpholin-4-yl)thiazol-4-yl)benzothiazol-2-yl]benzamide **383867-50-3P**, N-[7-(2-Aminothiazol-4-yl)-4-methoxybenzothiazol-2-yl]-4-fluorobenzamide **383867-51-4P**, 4-Fluoro-N-[4-methoxy-7-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]benzothiazol-2-yl]benzamide **383867-52-5P**, N-[7-(2-(Dimethylamino)thiazol-4-yl)-4-methoxybenzothiazol-2-yl]-4-fluorobenzamide **383867-53-6P**, 4-Fluoro-N-(4-methoxy-7-(thiophen-2-yl)benzothiazol-2-yl)benzamide **383867-54-7P**, 4-Fluoro-N-[4-methoxy-7-[2-(4-methylpiperazin-1-yl)thiazol-4-yl]benzothiazol-2-yl]benzamide **383867-55-8P**, 4-Fluoro-N-[4-methoxy-7-(2-(pyridin-2-yl)thiazol-4-yl)benzothiazol-2-yl]benzamide **383867-56-9P**, 4-Fluoro-N-[4-methoxy-7-(2-(pyrrolidin-1-yl)thiazol-4-yl)benzothiazol-2-yl]benzamide **383867-57-0P**, 4-Fluoro-N-[4-methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]benzamide **383867-58-1P**, 4-Fluoro-N-[4-methoxy-7-(5-methylthien-2-yl)benzothiazol-2-yl]benzamide **383867-59-2P**, N-[7-(2,5-Dimethylthiazol-4-yl)-4-methoxy-benzothiazol-2-yl]-4-fluorobenzamide **383867-61-6P**, 4-Chloromethyl-N-[4-methoxy-7-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]benzothiazol-2-yl]benzamide **383867-62-7P**, 4-Chloromethyl-N-[4-methoxy-7-[2-(tritylamino)thiazol-4-yl]benzothiazol-2-yl]benzamide **383867-63-8P**, 4-Chloromethyl-N-[7-(2-(dimethylamino)thiazol-4-yl)-4-methoxybenzothiazol-2-yl]benzamide **383867-64-9P**, 4-Chloromethyl-N-(4-methoxy-7-(thien-2-yl)benzothiazol-2-yl)benzamide **383867-65-0P**, 4-Chloromethyl-N-[4-methoxy-7-(2-(pyridin-2-yl)thiazol-4-yl)benzothiazol-2-yl]benzamide **383867-66-1P**, 4-Chloromethyl-N-[4-methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]benzamide **383867-67-2P**, 4-Chloromethyl-N-[4-methoxy-7-(5-methylthien-2-yl)benzothiazol-2-yl]benzamide **383867-68-3P**, 4-[[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-[4-methoxy-7-(2-(morpholin-4-yl)thiazol-4-yl)benzothiazol-2-yl]benzamide **383867-69-4P**, 4-[[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-[4-methoxy-7-[2-(tritylamino)thiazol-4-yl]benzothiazol-2-yl]benzamide **383867-71-8P**, 4-[[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-[4-methoxy-7-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]benzothiazol-2-yl]benzamide **383867-72-9P**, N-[7-(2-(Dimethylamino)thiazol-4-yl)-4-methoxybenzothiazol-2-yl]-4-[[N-(2-methoxyethyl)-N-methylamino]methyl]benzamide **383867-73-0P**, 4-[[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(thien-2-yl)benzothiazol-2-yl)benzamide **383867-74-1P**, 4-[[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-[4-methoxy-7-(2-(pyridin-2-yl)thiazol-4-yl)benzothiazol-2-yl]benzamide **383867-75-2P**, 4-[[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-[4-methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]benzamide **383867-76-3P**, 4-[[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-[4-methoxy-7-(5-methylthien-2-yl)benzothiazol-2-yl]benzamide **383867-77-4P**, N-[4-Methoxy-7-(2-(morpholin-4-yl)thiazol-4-yl)benzothiazol-2-yl]-4-(pyrrolidin-1-ylmethyl)benzamide **383867-78-5P**, N-[4-Methoxy-7-[2-(6-methyl-pyridin-3-yl)thiazol-4-yl]benzothiazol-2-yl]-4-(pyrrolidin-1-yl-methyl)benzamide **383867-80-9P**, N-[7-(2-Aminothiazol-4-yl)-4-methoxybenzothiazol-2-yl]-4-(pyrrolidin-1-ylmethyl)benzamide hydrochloride **383867-81-0P**, N-[7-(2-(Dimethylamino)thiazol-4-yl)-4-methoxybenzothiazol-2-yl]-4-(pyrrolidin-1-ylmethyl)benzamide **383867-82-1P**, N-(4-Methoxy-7-(thien-2-yl)benzothiazol-2-yl)-4-(pyrrolidin-1-ylmethyl)benzamide **383867-83-2P**, N-[4-Methoxy-7-(2-(pyridin-2-yl)thiazol-4-yl)benzothiazol-2-yl]-4-(pyrrolidin-1-ylmethyl)benzamide

383867-84-3P, N-[4-Methoxy-7-(5-methylthien-2-yl)benzothiazol-2-yl]-4-(pyrrolidin-1-yl-methyl)benzamide **383867-85-4P**, N-[4-Methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]-4-(pyrrolidin-1-yl-methyl)benzamide **383867-86-5P**, N-(4-Methoxy-7-(thien-2-yl)benzothiazol-2-yl)-2-methylisonicotinamide **383867-87-6P**, N-[4-Methoxy-7-(2-(pyridin-2-yl)thiazol-4-yl)benzothiazol-2-yl]-2-methylisonicotinamide **383867-88-7P**, N-[4-Methoxy-7-(2-(pyrrolidin-1-yl)thiazol-4-yl)benzothiazol-2-yl]-2-methylisonicotinamide **383867-89-8P**, N-[4-Methoxy-7-[2-(4-methylpiperazin-1-yl)-thiazol-4-yl]benzothiazol-2-yl]-2-methylisonicotinamide **383867-90-1P**, N-[4-Methoxy-7-(5-methylthien-2-yl)benzothiazol-2-yl]-2-methylisonicotinamide **383867-91-2P**, Morpholine-4-carboxylic acid [4-methoxy-7-[2-(6-methylpyridin-3-yl)thiazol-4-yl]benzothiazol-2-yl]amide **383867-92-3P 383867-93-4P**, Morpholine-4-carboxylic acid [4-methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]amide **383867-94-5P**, Morpholine-4-carboxylic acid [4-methoxy-7-[2-(4-methylpiperazin-1-yl)thiazol-4-yl]benzothiazol-2-yl]amide **383867-95-6P**, Morpholine-4-carboxylic acid [4-methoxy-7-(2-(piperidin-1-yl)thiazol-4-yl)benzothiazol-2-yl]amide **383867-96-7P**, Morpholine-4-carboxylic acid (4-methoxy-7-(thien-2-yl)benzothiazol-2-yl)amide **383867-97-8P**, Morpholine-4-carboxylic acid [4-methoxy-7-(5-methylthien-2-yl)benzothiazol-2-yl]amide **383867-98-9P**, 4-Hydroxypiperidine-1-carboxylic acid [4-methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]amide **383867-99-0P**, 4-Hydroxypiperidine-1-carboxylic acid [4-methoxy-7-(5-methylthien-2-yl)benzothiazol-2-yl]amide **383868-00-6P**, 4-Methylpiperazine-1-carboxylic acid [4-methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]amide **383868-01-7P**, N-[2-[4-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-ylcarbamoyl)phenyl]ethyl]-N-methylcarbamic acid tert-butyl ester **383868-03-9P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-(1,1,2,2-tetrafluoroethoxy)benzamide **383868-05-1P**, 4-[N-(2-Methoxyethyl)-N-methylsulfamoyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-06-2P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-trifluoromethylbenzamide **383868-07-3P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-trifluoromethoxybenzamide **383868-08-4P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-trifluoromethoxybenzamide **383868-09-5P**, 4-Ethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-10-8P**, 4-Fluoro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-11-9P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-2-methylisonicotinamide **383868-12-0P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-13-1P**, 4-Chloro-3-[[N-ethyl-N-(2-methoxyethyl)amino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-14-2P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-((N-methylamino)methyl)benzamide **383868-15-3P**, 4-Chloro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-((N-methylamino)methyl)benzamide **383868-16-4P**, 4-Chloro-3-[[N-(2-methoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-17-5P**, 4-Chloro-3-[N-(2-methoxyethylamino)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-18-6P**, 4-Chloro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-(pyrrolidin-1-ylmethyl)benzamide **383868-19-7P**, 1-[4-(4-Benzyloxy-7-(morpholin-4-yl)benzothiazol-2-ylcarbamoyl)benzyl]pyridinium chloride **383868-21-1P**, 3-Fluoro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-(pyrrolidin-1-ylmethyl)benzamide **383868-22-2P**, 3-[N-(2-Methoxy-ethylamino)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-23-3P**, 3-[[N-(2-Methoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-

yl)benzamide **383868-24-4P**, 1-[4-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-ylcarbamoyl)benzyl]pyridinium chloride **383868-25-5P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-(pyrrolidin-1-ylmethyl)benzamide **383868-26-6P**, 4-[N-(2-Ethoxyethylamino)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-benzamide **383868-27-7P**, (R)-N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((3-methoxypyrrolidin-1-yl)methyl)benzamide **383868-29-9P**, (S)-N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((3-methoxypyrrolidin-1-yl)methyl)benzamide **383868-30-2P**, 4-(Azetidin-1-ylmethyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-31-3P**, 4-[1-(2-Methoxyethylamino)ethyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-32-4P**, 4-[1-[N-(2-Methoxyethyl)-N-methylamino]ethyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-33-5P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-(1-(pyrrolidin-1-yl)ethyl)benzamide **383868-34-6P**, 4-(2-(Dimethylamino)ethylsulfanylmethyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-35-7P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-[[N-methyl-N-(4,4,4-trifluoro-3-hydroxybutyl)amino]methyl]benzamide **383868-37-9P**, 4-[[N-Ethyl-N-(2-methoxy-ethyl)amino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-38-0P**, 4-[[N-(2-Ethoxyethyl)-N-ethylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-40-4P**, 3-Fluoro-4-[[N-(2-methoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-41-5P**, 4-[[N,N-Bis(2-ethoxyethyl)amino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-42-6P**, 4-[[N-(2-Ethoxyethyl)-N-methylamino]methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-43-7P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((4-methoxypiperidin-1-yl)methyl)benzamide **383868-44-8P**, 4-(Diethylamino)methyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-45-9P**, 4-[N-(2-Methoxyethylamino)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-46-0P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((2-methylimidazol-1-yl)methyl)benzamide **383868-47-1P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((4-methylpiperazin-1-yl)methyl)benzamide **383868-48-2P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((pyrrolidin-1-yl)methyl)benzamide **383868-49-3P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-((morpholin-4-yl)methyl)benzamide **383868-50-6P**, N-(4-Benzyloxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-[[N-(2-methoxyethyl)-N-methylamino]methyl]benzamide **383868-52-8P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-[[N-methyl-N-(3,3,3-trifluoropropyl)amino]methyl]benzamide hydrochloride **383868-53-9P**, 4-((2-Methoxyethoxy)methyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-54-0P**, 4-Methoxymethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383868-55-1P** **383868-59-5P** **383868-60-8P** **383868-61-9P** **383868-62-0P** **383868-69-7P** **383868-70-0P**, 4-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-ylcarbamoyl)piperidine-1-carboxylic acid tert-butyl ester **383868-71-1P** **383868-72-2P**, Piperidine-4-carboxylic acid (4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)amide **383868-73-3P** **383868-75-5P** **383868-76-6P** **383868-78-8P** **383868-79-9P** **383868-80-2P** **383868-81-3P** **383868-83-5P** **383868-84-6P** **383868-85-7P**, N-(2-Methoxyethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methylurea **383868-87-9P** **383868-89-1P** **383868-91-5P** **383868-93-7P** **383868-95-9P** **383869-00-9P**

383869-01-0P 383869-02-1P 383869-03-2P
383869-05-4P 383869-07-6P 383869-09-8P
383869-11-2P 383869-13-4P 383869-15-6P
383869-17-8P, N'-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-(4-methoxyphenyl)-N-methylurea **383869-19-0P 383869-21-4P**
383869-23-6P, N'-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methyl-N-phenylurea **383869-25-8P 383869-27-0P**
383869-29-2P 383869-31-6P 383869-34-9P
383869-37-2P 383869-39-4P, (4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamic acid 2-methoxyethyl ester
383869-42-9P, N-[4-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-ylcarbamoyl)benzyl]-N-methylcarbamic acid methyl ester
383869-44-1P 383869-48-5P, N-(4-Ethoxy-7-(piperidin-1-yl)benzothiazol-2-yl)-4-fluorobenzamide **383869-54-3P**, 4-Fluoro-N-(4-isopropoxy-7-(piperidin-1-yl)benzothiazol-2-yl)benzamide
383869-60-1P, 4-Fluoro-N-(4-methoxy-7-(pyrrolidin-1-yl)benzothiazol-2-yl)benzamide **383869-63-4P**, 4-Fluoro-N-(4-methoxy-7-([1,4]oxazepan-4-yl)benzothiazol-2-yl)benzamide
383869-66-7P 383869-69-0P, N-(7-(Azepan-1-yl)-4-methoxybenzothiazol-2-yl)-4-nitrobenzamide **383869-71-4P**
383869-73-6P, 4-Fluoro-N-[4-methoxy-7-(2-methylimidazol-1-yl)-benzothiazol-2-yl]-benzamide **383869-78-1P**, (4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea **383869-80-5P**, (4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)carbamic acid phenyl ester
383869-82-7P, 2-Chloro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)isonicotinamide **383869-84-9P**, 2-Iodo-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-6-methylisonicotinamide
383869-86-1P, N-Benzyl-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methylurea **383869-88-3P**, N'-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methyl-N-phenethylurea **383869-90-7P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-2-phenylacetamide **383869-92-9P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)propionamide
383869-94-1P, 2-Methoxy-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)acetamide **383869-96-3P**, Pentanoic acid (4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)amide **383869-98-5P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)isobutyramide
383870-00-6P, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-3-phenylpropionamide **383870-02-8P**, N-Benzyl-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea **383870-05-1P**, N-(4-Methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N'-phenethylurea
383870-07-3P, N-(2-Methoxyethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea **383870-09-5P**, N-(2-Dimethylaminoethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-N-methylurea
383870-11-9P, N-(2-Dimethylaminoethyl)-N'-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)urea **383870-13-1P**, 4-(Dimethylamino)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)butyramide
383871-39-4P 383871-76-9P, 4-[((2-(Dimethylamino)ethyl)sulfanyl)methyl]-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-benzamide **383911-03-3P**
383911-05-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

IT **383866-26-0**, 3,4-Dimethoxybenzoic acid 2-[N-[4-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-ylcarbamoyl)benzyl]-N-methylamino]ethyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

IT **383868-51-7P**, N-(4-Benzyloxy-7-(morpholin-4-yl)benzothiazol-2-yl)-4-chloromethylbenzamide **383871-01-0P**, 4-(1-Bromoethyl)-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383871-03-2P**, 3-Chloromethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)benzamide **383871-04-3P**, 4-Chloromethyl-3-fluoro-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-benzamide **383871-06-5P**, 4-Chloro-3-chloromethyl-N-(4-methoxy-7-(morpholin-4-yl)benzothiazol-2-yl)-benzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

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